

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
15 March 2001 (15.03.2001)

PCT

(10) International Publication Number
WO 01/17348 A1

(51) International Patent Classification⁷: A01N 25/12,
59/14, 57/28

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(21) International Application Number: PCT/US00/40550

(22) International Filing Date: 2 August 2000 (02.08.2000)

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(25) Filing Language: English

(81) Designated States (*national*): AU, BR, CA, CN, JP, MX,
NZ.

(26) Publication Language: English

(30) Priority Data:
09/389,897 3 September 1999 (03.09.1999) US

Published:

- With international search report.
- Before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments.

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*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*



WO 01/17348 A1

(54) Title: GRANULAR PEST BAIT

(57) Abstract: Disclosed is a substantially water-free insecticidal granular bait suitable for controlling crawling insects such as cockroaches and ants. The bait includes an insecticide, several feeding stimulants which are typically carbohydrates and proteins, and a lipid. These bait compositions are in granular form, which are useful for application in hard-to-reach areas and are particularly useful in wet conditions, as well as hot, dry areas.

GRANULAR PEST BAIT

Field of the Invention

This invention relates to a substantially water-free, granular pest bait for
5 controlling insects, particularly cockroaches and ants.

Background of the Invention

Historically, toxic baits for controlling crawling insects such as cockroaches
have been water-based. With cockroaches especially, water is presumed necessary
10 for good bait performance. Unfortunately, water-based bait products rapidly lose
effectiveness due to water loss, rancidity, break-down of active ingredients and other
factors. Studies of water-based paste baits have confirmed that water loss, repellent
properties of active ingredients, and insecticide resistance are the most important
factors affecting bait performance. Appel, A. G., *J. Econ Entomol.* 85 (4):1176-
15 1183 (1992), Robinson, W. H., *Proceedings of the National Conference on Urban
Entomology* 77-91 (1992), and Rust, N. K., "Managing Household Pests", in
Advances in Urban Pest Management, G. W. Bennett and M. Owens (eds), Van
Norstrand Reinhold, N.Y. 335-368 (1986).

One approach to improve on water-based insecticide products has been to use
20 a dust or paste composition which contains a so-called water powder with the
insecticide. This water powder is water entrapped within hydrogenated soybean oil,
as described in U.S. Patent No. 5,820,855. Another water-free fat-based pest bait
product is described in U.S. Patent 5,464,613. These compositions are paste forms
and includes as a major ingredient a fat-based carrier. Unfortunately, dust
25 compositions have disadvantages such as drifting of the material when applied.
Although paste products do not drift, they can be difficult to apply and require an
applicator for applying pastes in cracks and crevices. Additionally, paste bait
products cannot be easily and effectively applied into void areas which can be major
pest harborage areas. Also, paste baits can rapidly lose water in hot, dry areas and
30 become ineffective. There is thus a need for improved pesticide compositions
especially for use in wet or moist areas, as well as in hot, dry void areas.

Summary of the Invention

The present invention provides a substantially water-free product which is easy to apply in cracks, crevices and voids. The invention is a granular, substantially water-free, pest bait, which is useful in hard-to-get-at areas and particularly useful in
5 wet or moist areas, and also in hot, dry void areas.

Insecticides in granular form according to the present invention show faster kill than corresponding pastes or dust formulations. The granular composition of the present invention is characterized by having a critical load of less than 1 Newton (N). The critical load is a measure of the granule's crushability. The present
10 invention has particle sizes ranging from about 0.4 to about 2 millimeters (mm) in diameter.

Accordingly, the invention is found in a substantially water-free granular insecticide composition including an effective amount of an insecticide, a sufficient amount of lipid, and the balance being feeding stimulants including a carbohydrate
15 and a protein, wherein the granules range in size from about 0.4 to about 2.0 mm and have a critical load when crushed of less than 1 N.

As a particular embodiment, the invention is found in an insecticide composition including in granular form at least about 40 weight percent (wt-%) boric acid, up to about 25 wt-% lipid, preferably about 5 to 15 wt-% lipid, and the
20 balance being feeding stimulants containing a carbohydrate and a protein.

In another particular embodiment, the invention is found in an insecticide composition including in granular form at least about 0.1 weight percent (wt-%) acephate, up to about 25 wt-% lipid, preferably about 5 to 15 wt-% lipid, and the balance being feeding stimulants containing a carbohydrate and a protein.

25 The invention is also found in methods of controlling pests which include application of the granular compositions previously described.

Brief Description of the Figures

Figure 1 is a plot of load versus time for the granular pest bait of the present invention, demonstrating the critical load.

Figure 2 is a plot of critical load versus particle diameter for the granular pest
5 bait of the present invention.

Figure 3 is a corresponding plot of critical load versus particle diameter for a commercial product used for comparison purposes.

Figure 4 is a plot of kill percent versus time for several granular formulations of the present invention.

10 Figure 5 is a plot of kill percent versus time for a boric acid paste formulation.

Figure 6 is a plot of kill percent versus time for a boric acid dust formulation.

Detailed Description of the Invention

15 The invention involves an essentially water-free insecticidal granular bait composition which includes an insecticide including, for example, boric acid or acephate along with feeding stimulants and a lipid. The insecticide is the active ingredient which serves to kill the insect, while the feeding stimulants serve to attract the insect to the bait and entice it to eat. The feeding stimulants include
20 carbohydrates and proteins. The lipid serves as an additional feeding stimulant and also helps protect the composition from water. Useful boric acid composition ranges are described in Table 1 while useful acephate composition ranges are shown in Table 2:

Table 1

Ingredient	Useful (wt-%)	Preferred (wt-%)
boric acid	> 40	40-60
fat	< 25	5-15
feeding stimulant	balance	Balance

Table 2

Ingredient	Useful (wt-%)	Preferred (wt-%)
acephate	> 0.1	0.1-5
fat	< 25	5-15
feeding stimulant	balance	balance

25

A particularly useful boric acid composition is given below in Table 3, while Table 4 describes a preferred acephate composition:

Table 3

Ingredient	Weight Percent
boric acid	52.73
soybean oil	9.09
powdered sugar	23.45
whole milk powder	14.73

Table 4

Ingredient	Weight Percent
acephate	1.00
soybean oil	10.00
powdered sugar	25.00
whole milk powder	64.00

Insecticide

5 A variety of insecticides can be used in the granular composition of the present invention. Examples of insecticide compounds useful in the invention include those found in the following classes:

1-organophosphates, e.g. acephate, chlorpyrifos or diazinon;

2-mineral acids, e.g. boric acid;

10 3-carbamates, e.g. propoxur, 2-(1,3-dioxolane-2-yl)-phenyl-N-methylcarbamate;

4-pyrethroids, e.g. cyfluthrin;

5-amidinohydrazones, e.g. hydramethylnon;

6-avermectins, e.g. abamectin;

15 7-chlorinated hydrocarbons, e.g. lindane,

and combinations of these with known synergists, e.g. o-isopropoxy-phenylmethylcarbamate or 2-(1,3-dioxolane-2-yl)-phenyl-N-methylcarbamate may be combined with piperonyl butoxide or piperonal bis-(2,2-butoxyethoxy)-ethyl)acetal. Preferred insecticides include boric acid and acephate.

20 Boric acid can be used as an active ingredient in the claimed insecticidal bait composition. Boric Acid Technical Powder is a white, crystalline product available from U.S. Borax, Inc. The boric acid, which has a molecular formula of H_3BO_3 , is used at a minimum content of at least about 40 wt-%. Preferably, it is used at a concentration of about 40 to about 60 wt-%.

25 Acephate can also be used as an active ingredient in the claimed insecticide composition. Acephate is a fine crystalline powder that is water soluble

and can be easily incorporated into a fat by forming a suspension or paste. It is a desirable active ingredient because there is no known insecticide resistance and it has very low mammalian toxicity. Acephate has a molecular formula of $C_4H_{10}NO_3PS$ and is typically used at a minimum content of about 0.1 wt-%. A preferred range is a concentration of about 0.1 to about 5 wt-%.

Lipids

Lipids are included both as a minor feeding stimulant and more importantly to protect the composition from water. A major portion of the composition contains lipids present as glycerides and falling within a definition of lipids as being "A glycerol ester of fatty acid(s): Fats/lipids generally are substances of plant and animal origin. Fat may be in a solid form, as tallow, lard, butter, margarine or other shortenings or in liquid form, e.g., as vegetable oils." Certain vegetable oils can also be solid depending on the degree of hydrogenation or saturation.

The glycerol esters are predominantly of the triglyceride type; vegetable oils and fats may also contain some di- and even mono-glycerides. The fatty acid component of fats and vegetable oils encompass the range of fatty acids containing from about 8-22 carbon atoms, preferably in the range of 12 to 18 carbon atoms. Although most of the fatty acid content is saturated linear aliphatic acid, some of the fatty acid content may be unsaturated, as exemplified by oleic and linoleic acid.

Examples of preferred fats and oils used in the present invention are partially hydrogenated vegetable oil including soy bean and cottonseed oil mixtures in solid or liquid flake form, partially hydrogenated cottonseed oil in solid form, partially hydrogenated soybean oil in solid form, partially hydrogenated vegetable oil containing a mixture of palm kernel and coconut oils in liquid form, partially hydrogenated nonvegetable coconut oil in liquid plastic form, partially hydrogenated vegetable oil in liquid flake form having a mixture of a palm kernel and cottonseed with lecithin, hydrogenated cottonseed oil, hydrogenated palm oil, hydrogenated soybean oil, hydrogenated vegetable oil in liquid form containing a mixture of grapeseed, cottonseed and soybean oil, partially hydrogenated vegetable oil containing a mixture of soybean and palm oil with vegetable mono and diglycerides

and Tween 60K, in plastic form, partially hydrogenated vegetable oil containing soybean, cottonseed with vegetable mono and diglycerides in plastic form, partially hydrogenated vegetable oil in paste or margarine type of form which contains a mixture of soybean and cottonseed oils with water, salt, nonfat milk, lecithin, mono and diglycerides, sodium benzoate, artificial color, artificial flavor, vitamin A palmitate, soybean oil, corn oil, coconut oil, mono and diglycerides, ethoxylated mono and diglycerides, polyglycerol esters of fatty acids, and polyglycerol as fatty acids.

Preferred lipids are those considered to be vegetable oils. Particularly preferred is soybean oil. Because of the nature of the granular compositions of the present invention, the amount of lipid used is equal to or less than about 25 wt-% of the total weight of the composition, and is preferably at a concentration of about 5 to about 15 wt-%.

15 Feeding Stimulants

Feeding stimulants are included in the composition to attract the insect to the bait, and to entice the insect to eat the bait. Preferable feeding stimulants include mixtures of carbohydrates and proteins. Examples of carbohydrates are maltodextrins and the like; carbohydrate complexes, corn syrup solids, sugars such as sucrose, glucose, fructose, starches such as corn, potato and the like. Examples of proteins include yeast extracts and milk solids, e.g. whole milk powder. The feeding stimulants constitute the balance of the composition depending on the of insecticide and lipid employed. Preferred stimulants are a mixture of powdered sugar and milk solids, e.g. whole milk powder. Powdered sugar is a food grade product made by grinding granulated sugar with corn starch. Powdered sugar contains about 97 wt-% sucrose and about 3 wt-% of corn starch.

Optional components

These can include additional attractants. Examples of attractants are odorants and flavorants such as, for example, cyclotenes and the like, plant extracts such as fenugreek and the like, alcohols such as ethanol, or a volatile ester in
5 combination with ethanol. Said volatile ester is made from a combination of a C₁-C₆ branched or unbranched alcohol with a C₁-C₃ carboxylic acid. Lower alcohols useful in the manufacture of the volatile ester co-attractants of the invention include methyl alcohol, ethyl alcohol, n-propyl alcohol, isopropyl alcohol, n-butyl alcohol, isobutyl alcohol, tertiary butyl alcohol, n-amyl alcohol, isoamyl alcohol, tertiary amyl
10 alcohol, n-hexyl alcohol, and mixtures thereof, etc. Carboxylic acids useful in manufacturing the ester attractant of the invention include acetic acid, propionic acid, butyric acid, mixtures thereof, and others. The associated reactive analogs of the respective carboxylic acids can be used, for example, the acid chloride or acid anhydride. The preferred volatile ester for use is a lower alcohol acetate ester such
15 as n-amyl acetate, isoamyl acetate, isobutyl acetate, n-propyl acetate, ethyl acetate or mixtures thereof. some of the ingredients may overlap in category as they can be both attractants and feed stimulants, for example proteins mentioned above, odorants and flavorants.

20 Processing

The insecticide composition of the invention can be made by combining the boric acid (or acephate) and feeding stimulants and blending thoroughly. Once
blended, the mixture is transferred to a roller compactor/granulator with a mesh screen for the desired particle size. Preferred is a 10 mesh screen. After granulation,
25 the granulated blend is transferred to a ribbon mixture, where the fat is slowly added with simultaneous mixing. The resulting granular composition has granules ranging in size from about 0.4 to about 2.0 mm. Such granules when crushed exhibit a critical load of less than about 1 N.

30 The following examples are intended to illustrate the invention but are not to be construed as limiting the invention.

Working Examples

Example 1

This example describes a sample formulation illustrative of the invention. A granulated insecticide composition was prepared according to the following guidelines.

Ingredient	Weight Percent
boric acid	52.73
salad oil	9.09
powdered sugar	23.45
whole milk powder	14.73

1. The boric acid, powdered sugar and whole milk powder were combined in a Ribbon mixer and were thoroughly blended.
2. The resulting blend was transferred to a roller compactor/granulator, where it was compacted and granulated using a 10 mesh screen.
3. The granulated blend was transferred to a Ribbon mixer, where salad oil was slowly poured in while the mixer was running.

Example 2

This example describes crush testing performed on the granular product of the present invention. For comparison purposes, a presently available commercial product, a fine granular matrix containing hydramethylnon, was also tested.

The two materials were crush tested in an apparatus known as the Micro-Mechanical Tester (MMT). As this is not a commercial product, no manufacturers specifications exist. This instrument has a load resolution of about 50 to 100 μ N and a maximum load of about 5 N. Each granule was examined in an optical microscope and two measurements were made to estimate the mean diameter.

The granules were then crushed in the MMT by placing them between two steel cylinders and subsequently driving the two cylinders together at a rate of 1 μ m per second. A computer was used to continuously collect the applied force. By examining the load as a function of time (see Figure 1, for example, which provides this data for the specimen representing the present invention), a clear load drop was

seen. At this point, the specimen has typically broken into at least two distinct pieces.

Figure 2 shows critical load as a function of granule diameter for the specimen representing the present invention. No particular trend is seen in this data.
5 The load to failure ranged from 0.017 to 1.17 N with a mean value of 0.298 N and a standard deviation of 0.350 N.

Figure 3 shows the corresponding results for the samples of a commercially available ant bait consisting of a fine granular matrix containing hydramethylnon. Four particles were tested using a low range load cell, and all four went out of range
10 without crushing. Six more particles were tested using a maximum range load sensor, and three of these particles still exceeded the range of the test sensor. The above fine granular samples appear to demonstrate a linear relationship between particle size and load to failure.

This example demonstrates that the samples made according to the present
15 invention consistently crush at critical loads well below 1 N.

Example 3

This example demonstrates the efficacy of a granular composition versus either a paste or a dust formulation. In each test, ten male german cockroaches were
20 exposed to the test formulation and then transferred to another location with alternate food and water. Mortality was recorded daily, and each test was replicated three times.

Figure 4 shows the efficacy of a 54 wt-% boric acid composition, tested at three different oil contents. All three formulations achieved nearly a 100 percent kill
25 rate within about 5 days.

Figure 5 describes the kill results of a boric acid paste composition made according to U.S. Patent No. 4,988,511, which is hereby incorporated by reference herein. In this case, nearly 10 days are required to achieve a kill rate in excess of 90 percent. Figure 6 also demonstrates a required 10 day period for a 99 % boric acid
30 dust formulation. This formulation is essentially pure boric acid. In this test, a 15 minute exposure time was used.

These results show conclusively that for similar chemical compositions, a granular formulation is substantially faster and more effective at killing cockroaches.

The above specification, examples and data provide a complete description
5 of the manufacture and use of the composition of the invention. Since many
embodiments of the invention can be made without departing from the spirit and
scope of the invention, the invention resides in the claims hereinafter appended.

WE CLAIM:

1. A substantially water-free granular insecticide composition comprising:
 - an effective amount of an insecticide;
 - 5 a sufficient amount of lipid; and
 - the balance being feeding stimulants comprising a carbohydrate and a protein, wherein the granules range in size from about 0.4 to about 2.0 millimeters and have a critical load when crushed of less than 1 Newton.
2. The composition of claim 1, wherein the lipid is a vegetable oil.
- 10 3. The composition of claim 2, wherein the vegetable oil is soybean oil.
4. The composition of claim 1, wherein the carbohydrate is sucrose.
5. The composition of claim 4, wherein the sucrose is powdered.
6. The composition of claim 1, wherein the protein is milk solids.
7. The substantially water-free granular insecticide composition
- 15 according to claim 1, comprising:
 - about 40-60 wt-% boric acid;
 - about 25 wt-% or less of a lipid; and
 - the balance being feeding stimulants comprising a carbohydrate and a protein.
- 20 8. The substantially water-free granular insecticide composition according to claim 7, comprising:
 - about 5-15 wt-% of a vegetable oil; and
 - the balance being a mixture of powdered sugar and milk solid.
9. The substantially water-free granular insecticide composition
- 25 according to claim 1 comprising:
 - about 0.1 to 5 wt-% acephate;
 - about 25 wt-% or less of a lipid; and
 - the balance being feeding stimulants comprising a carbohydrate and a protein.
- 30 10. The substantially water-free granular insecticide composition according to claim 9, comprising:

about 5-15 wt-% of a vegetable oil; and

the balance being of a mixture of powdered sugar and milk solid.

11. The method of controlling insect pests comprising applying to areas to be controlled an effective amount of a substantially water-free composition

5 according to claim 1.

12. The method of claim 11, wherein the composition is applied in moist or wet areas.

13. The method of claim 11, wherein the composition is applied in hot, dry areas.

10 14. The method of controlling insect pests comprising applying to areas to be controlled an effective amount of a substantially water-free composition according to claim 7.

15 15. The method of claim 14, wherein the composition is applied in moist or wet areas.

16. The method of claim 14, wherein the composition is applied in hot, dry areas.

17. The method of controlling insect pests comprising applying to areas to be controlled an effective amount of a substantially water-free composition according to claim 9.

20 18. The method of claim 17, wherein the composition is applied in moist or wet areas.

19. The method of claim 17, wherein the composition is applied in hot, dry areas.

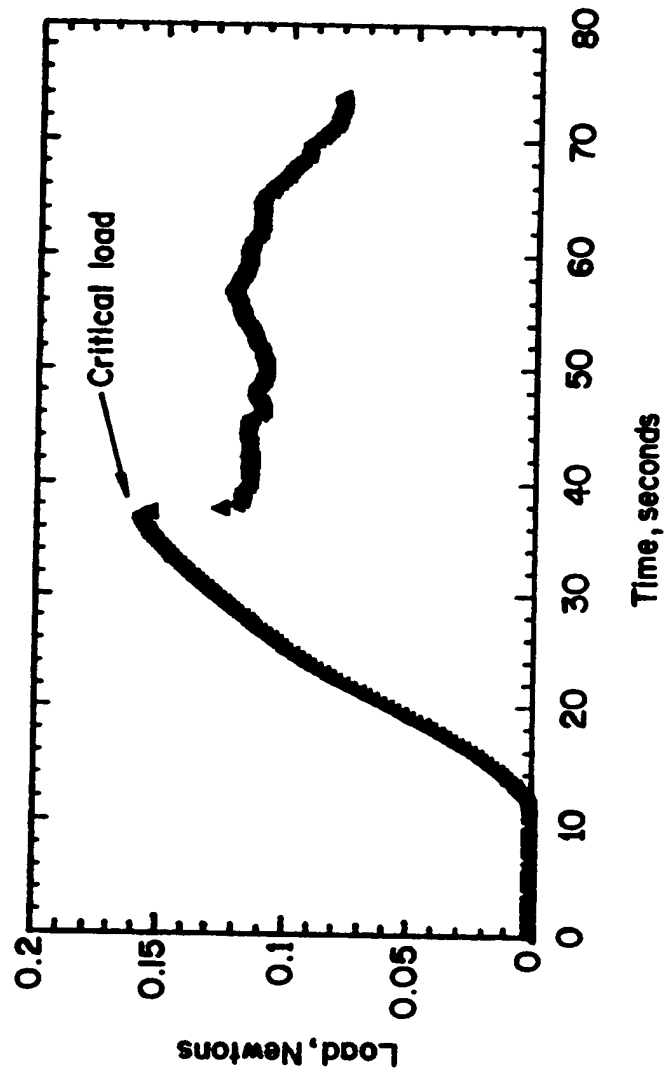
FIG. 1

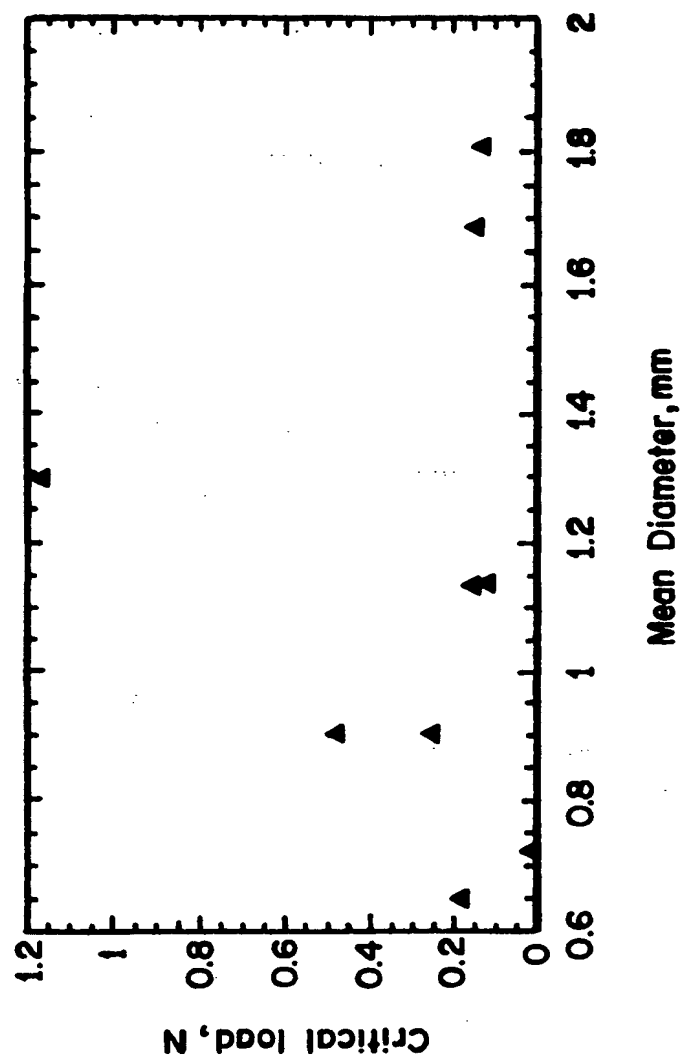
FIG. 2

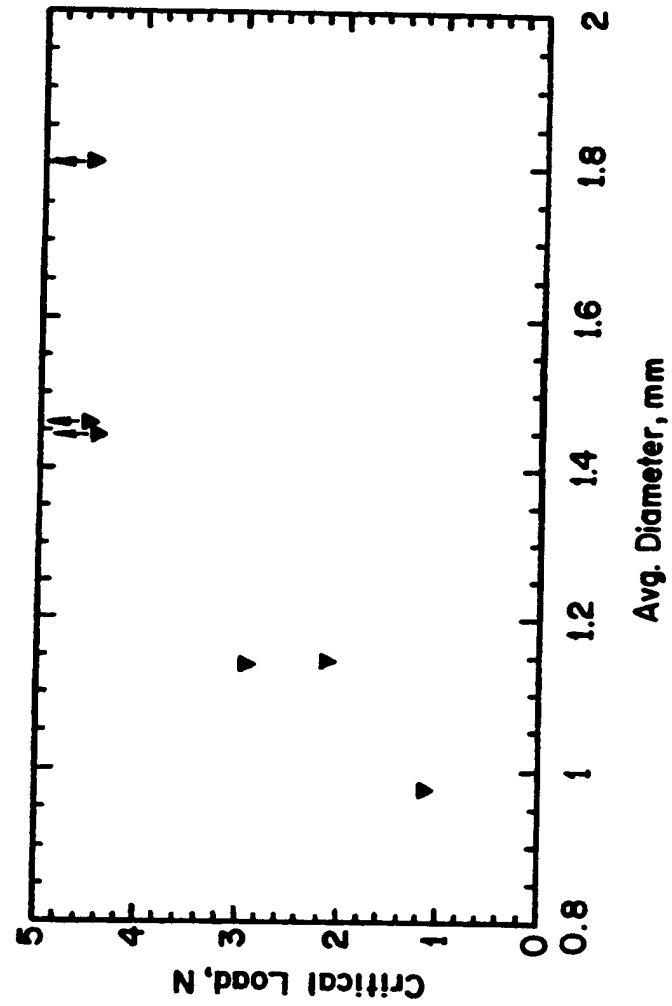
FIG. 3

FIG. 4

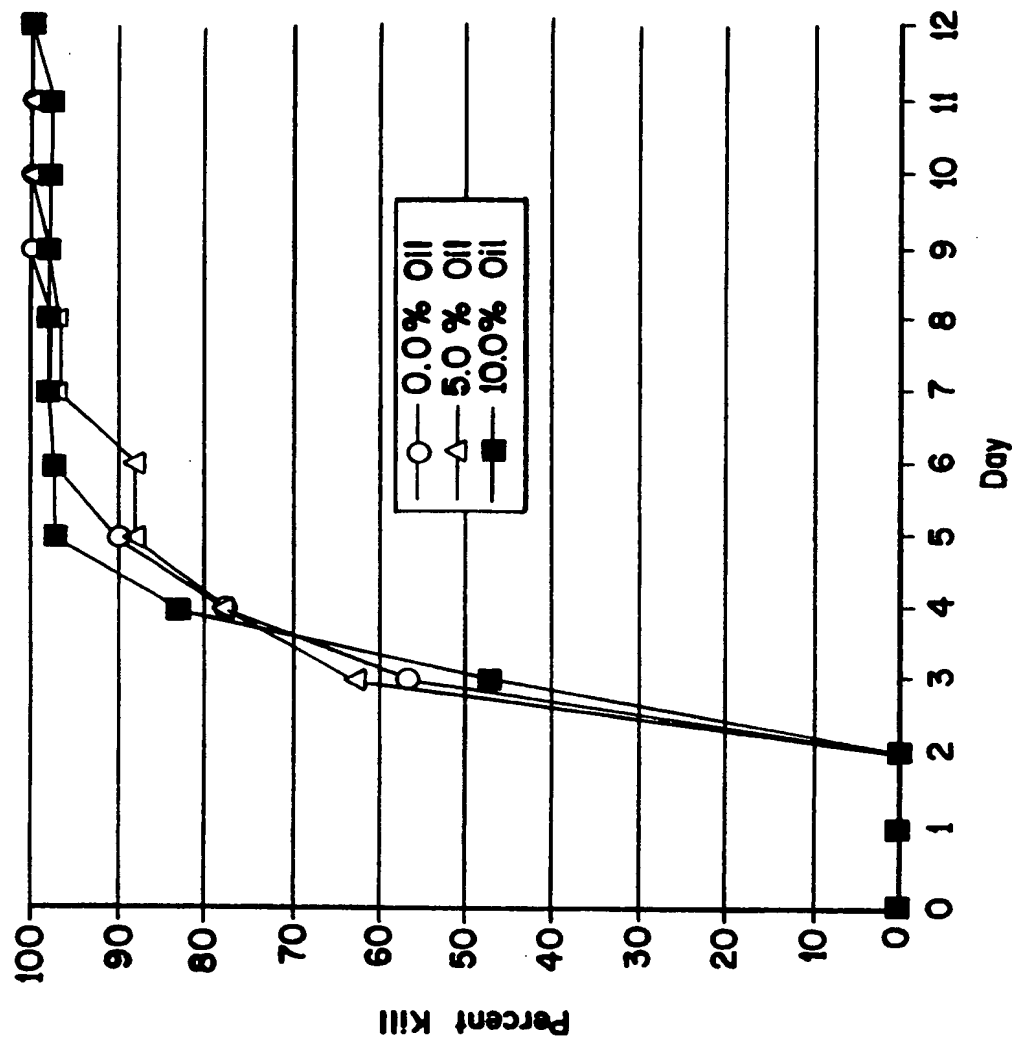


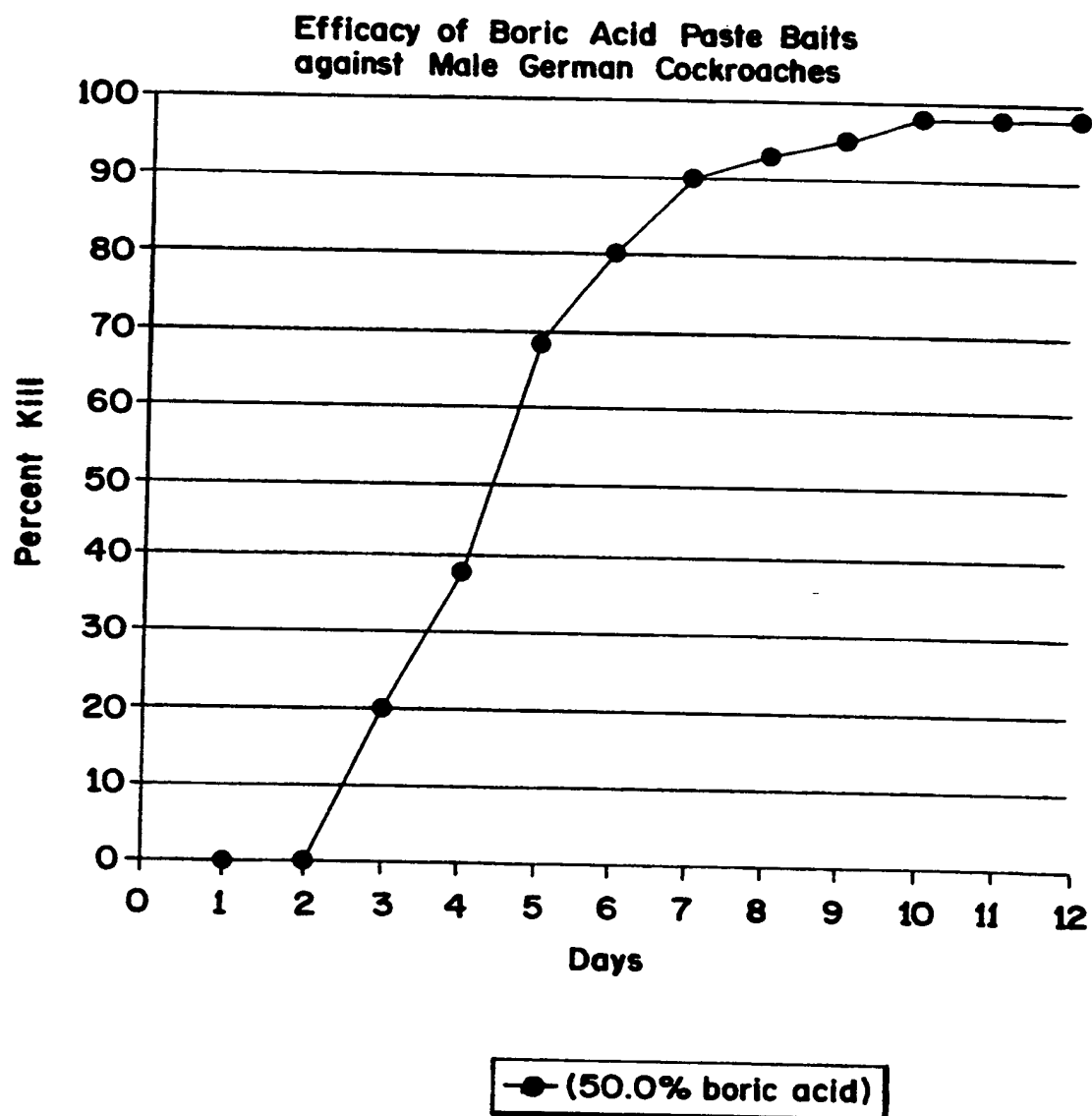
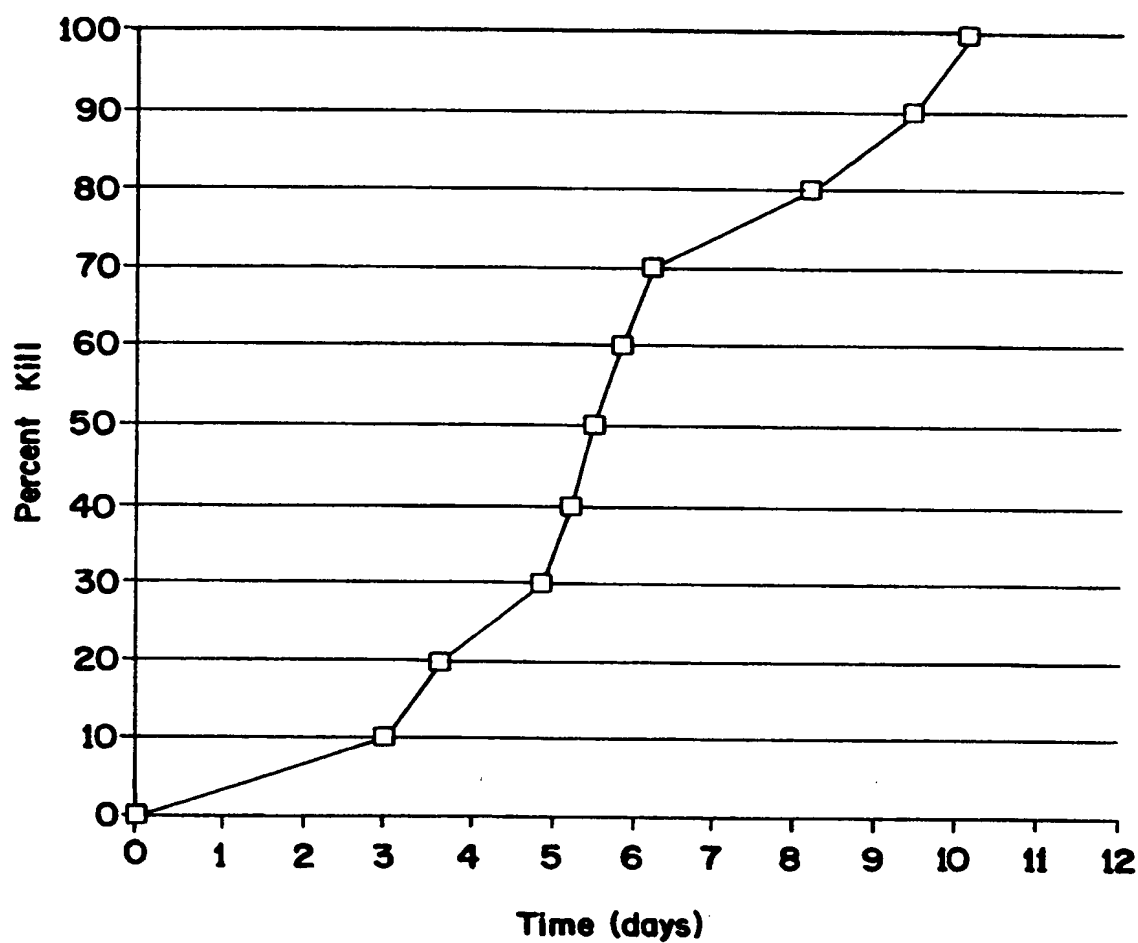
FIG. 5

FIG. 6

**Efficacy of Borid Dust
(99.0% boric acid, 15 minute exposure)
against Male German Cockroaches**



INTERNATIONAL SEARCH REPORT

International Application No
1.../US 00/40550

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A01N25/12 A01N59/14 A01N57/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 5 464 613 A (BARCAY STEPHEN J ET AL) 7 November 1995 (1995-11-07) column 1, line 5 - line 56 column 2, line 13 - line 17 column 3, line 20 - line 34 column 4, line 11 - line 65; claims; example 2 ---	1-19
A	DE 196 22 513 A (LEFATEX CHEMIE GMBH) 11 December 1997 (1997-12-11) column 3, line 14 - line 27; claims 1,6,7 ---	1-19
A	US 5 705 176 A (STAPLETON BILLY J ET AL) 6 January 1998 (1998-01-06) column 1, line 29 - line 52 column 2, line 6 - line 19 column 5, line 42 - line 60 ---	1-19
	-/-	

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Date of the actual completion of the international search

18 January 2001

Date of mailing of the international search report

26/01/2001

Name and mailing address of the ISA

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/40550

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 91 12722 A (HENKEL KGAA) 5 September 1991 (1991-09-05) page 1 -page 4; example 1 ----	1-19
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INTERNATIONAL SEARCH REPORT

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US 00/40550

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(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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— with amended claims

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WO 01/17348 A1

AMENDED CLAIMS

[received by the International Bureau on 12 March 2001 (12.03.01);
original claims 1-19 replaced by new claims 1-24 (3 pages)]

1. A substantially water-free granular insecticide composition comprising:
 - an effective amount of an insecticide;
 - 5 a sufficient amount of lipid; and
 - a balance of feeding stimulants comprising a carbohydrate and a protein, wherein the granules range in size from about 0.4 to about 2.0 millimeters and have a critical load when crushed of less than 1 Newton.
- 10 2. A substantially water-free granular insecticide composition produced by the following process steps:
 - (a) combining and blending an insecticidally effective amount of boric acid or acephate with a feeding stimulant comprising a carbohydrate and protein;
 - (b) granulating the blend of step (a) to granules of a desired size in diameter; and
 - 15 (c) slowly adding a lipid to said granules while mixing;wherein the amount of lipid added in step (c) is not greater than about 25 wt-% but greater than 0 wt-%, based on the total weight of the granules, wherein said desired size in diameter in step (b) is sufficient to provide the resultant granule in step (c) with a diameter size in the range of from about 0.4 to about 2.0 millimeters, and wherein said resultant granule in step (c) has a critical load when crushed of less than 1 Newton.
- 20 3. The composition of claim 1 or 2, wherein the lipid is a vegetable oil.
4. The composition of claim 3, wherein the vegetable oil is soybean oil.
5. The composition of claim 1 or 2, wherein the carbohydrate is sucrose.
- 25 6. The composition of claim 5, wherein the sucrose is powdered.
7. The composition of claim 1 or 2, wherein the protein is milk solids.
8. The substantially water-free granular insecticide composition according to claim 1, comprising:
 - about 40-60 wt-% boric acid;
 - 30 about 25 wt-% or less of a lipid; and
 - the balance being feeding stimulants comprising a carbohydrate and a protein.

9. The substantially water-free granular insecticide composition according to claim 7, comprising:
about 5-15 wt-% of a vegetable oil; and
the balance being a mixture of powdered sugar and milk solid.
- 5 10. The substantially water-free granular insecticide composition according to claim 1 comprising:
about 0.1 to 5 wt-% acephate;
about 25 wt-% or less of a lipid; and
the balance being feeding stimulants comprising a carbohydrate and a
10 protein.
11. The substantially water-free granular insecticide composition according to claim 10, comprising:
about 5-15 wt-% of a vegetable oil; and
the balance being of a mixture of powdered sugar and milk solid.
- 15 12. A method of controlling insect pests comprising applying to areas to be controlled an effective amount of a substantially water-free composition according to claim 1 or 2.
13. The method of claim 12, wherein the composition is applied in moist or wet areas.
- 20 14. The method of claim 12, wherein the composition is applied in hot, dry areas.
15. A method of controlling insect pests comprising applying to areas to be controlled an effective amount of a substantially water-free composition according to claim 8.
- 25 16. The method of claim 15, wherein the composition is applied in moist or wet areas.
17. The method of claim 15, wherein the composition is applied in hot, dry areas.
18. A method of controlling insect pests comprising applying to areas to
30 be controlled an effective amount of a substantially water-free composition according to claim 10.

19. The method of claim 18, wherein the composition is applied in moist or wet areas.

20. The method of claim 18, wherein the composition is applied in hot, dry areas.

5 21. The substantially water-free granular insecticide composition according to claim 2, wherein the amount of boric acid is about 40-60 wt-% based on the total weight of the granules.

22. The substantially water-free granular insecticide composition according to claim 21, wherein the lipid is a vegetable oil, the amount of said
10 vegetable oil is about 5-15 wt-% based on the total weight of the granules, the carbohydrate is powdered sugar and the protein is milk solids.

23. The substantially water-free granular insecticide composition according to claim 2, wherein the amount of acephate is about 0.1 to 5 wt-% based on the total weight of the granules.

15 24. The substantially water-free granular insecticide composition according to claim 23, wherein the lipid is a vegetable oil, the amount of said vegetable oil is about 5-15 wt-% based on the total weight of the granules, the carbohydrate is powdered sugar and the protein is milk solids.

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